

WHAT IS CLAIMED IS:

1 1. A method for delivery of a compound to the surface of, into or across a
2 biological barrier, the method comprising contacting the barrier with a composition
3 comprising the compound and a delivery-enhancing transporter,
4 wherein the delivery-enhancing transporter comprises sufficient
5 guanidino or amidino moieties to increase delivery of the compound into or across the
6 barrier compared to delivery of the compound in the absence of the delivery-enhancing
7 transporter.

1 2. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises a peptide backbone.

1 3. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises a non-peptide backbone.

1 4. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises from 6 to 50 guanidino or amidino moieties.

1 5. The method of claim 4, wherein the delivery-enhancing transporter
2 comprises from 7 to 15 guanidino moieties.

1 6. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises at least 6 contiguous subunits which each include a guanidino or amidino moiety.

1 7. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises from 6 to 50 subunits, at least 50% of which include a guanidino or amidino
3 moiety.

1 8. The method of claim 7, wherein at least about 70% of the subunits in
2 the delivery-enhancing transporter include a guanidino moiety.

- 1 9. The method of claim 7, wherein each subunit includes a guanidino
2 moiety.
- 1 10. The method of claim 7, wherein the subunits are selected from the
2 group consisting of L-arginine, D-arginine, L-homoarginine and D-homoarginine residues.
- 1 11. The method of claim 10, wherein each subunit is independently a D- or
2 L-arginine residue.
- 1 12. The method of claim 11, wherein at least one subunit is D-arginine.
- 1 13. The method of claim 12, wherein all of the arginine residues have a D-
2 configuration.
- 1 14. The method of claim 1, wherein the compound is a modified biological
2 agent.
- 1 15. The method of claim 1, wherein the composition comprises at least two
2 delivery-enhancing transporters.
- 1 16. The method of claim 1, wherein the barrier is an intact epithelial or
2 endothelial tissue layer or layers.
- 1 17. The method of claim 1, wherein the compound is a diagnostic imaging
2 or contrast agent.
- 1 18. The method of claim 1, wherein the compound is a non-nucleic acid.
- 1 19. The method of claim 1, wherein the compound is a non-polypeptide.

1 **20.** The method of claim 1, wherein the compound is selected from the
2 group consisting of antibacterials, antifungals, antivirals, antiproliferatives,
3 immunosuppressives, vitamins, analgesics, and hormones.

1 **21.** The method of claim 1, wherein the biological barrier is skin.

1 **22.** The method of claim 21, wherein the compound is delivered into and
2 across one or more of the stratum corneum, stratum granulosum, stratum lucidum and
3 stratum germinativum.

1 **23.** The method of claim 21, wherein the compound crosses the stratum
2 corneum in the absence of skin pretreatment.

1 **24.** The method of claim 21, wherein the composition is administered
2 topically and the compound is taken up by cells that comprise the follicular or interfollicular
3 epidermis.

1 **25.** The method of claim 21, wherein the composition is administered by a
2 transdermal patch.

1 **26.** The method of claim 1, wherein the compound is a therapeutic agent for
2 a condition selected from the group consisting of Crohn's disease, ulcerative colitis,
3 gastrointestinal ulcers, peptic ulcer disease, and abnormal proliferative diseases.

1 **27.** The method of claim 26, wherein the compound is a therapeutic for
2 ulcers and is selected from the group consisting of an H₂ histamine inhibitor, an inhibitor of
3 the proton-potassium ATPase, and an antibiotic directed at *Helicobacter pylori*.

1 **28.** The method of claim 1, wherein the compound is a therapeutic agent for
2 treating a bronchial condition selected from the group consisting of cystic fibrosis, asthma,
3 allergic rhinitis, and chronic obstructive pulmonary disease.

1 29. The method of claim 1, wherein the therapeutic agent is an
2 antiinflammatory agent selected from the group consisting of a corticosteroid, cromolyn, and
3 nedocromil.

1 30. The method of claim 1, wherein the compound is a therapeutic agent for
2 treating ischemia, Parkinson's disease, schizophrenia, cancer, acquired immune deficiency
3 syndrome (AIDS), infections of the central nervous system, epilepsy, multiple sclerosis,
4 neurodegenerative disease, trauma, depression, Alzheimer's disease, migraine, pain, and a
5 seizure disorder.

1 31. The method of claim 1, wherein the compound is selected from the
2 group consisting of cyclosporin, insulin, a vasopressin, a leucine enkephalin, calcitonin, 5-
3 fluorouracil, a salicylamide, a β -lactone, an ampicillin, a penicillin, a cephalosporin, a β -
4 lactamase inhibitor, a quinolone, a tetracycline, a macrolide, a gentamicin, acyclovir,
5 ganciclovir, a trifluoropyridine, and pentamidine.

1 32. A composition comprising:
2 an effective amount of a biologically active agent;
3 a delivery-enhancing transporter having sufficient guanidino or amidino moieties to
4 increase delivery of the biologically active agent across a biological barrier
5 compared to the delivery of the biologically active agent in the absence of the
transporter; and
a pharmaceutically acceptable carrier.

1 33. The composition of claim 32, wherein the biologically active agent is
2 selected from the group consisting of antiviral agents, antibacterial agents, antifungal agents,
3 antiproliferative agents, immunosuppressive agents, vitamins, analgesic agents and
4 hormones.

1 34. The composition of claim 33, wherein the biologically active agent is an
2 antiviral agent selected from the group consisting of acyclovir, famciclovir, ganciclovir,

3 foscarnet, idoxuridine, sorivudine, trifluridine, valacyclovir, cidofovir, didanosine,
4 stavudine, zalcitabine, zidovudine, ribavirin and rimantadine.

1 35. The composition of claim 32, wherein the biologically active agent is an
2 antibacterial agent selected from the group consisting of nafcillin, oxacillin, penicillin,
3 amoxicillin, ampicillin, cefotaxime, ceftriaxone, rifampin, minocycline, ciprofloxacin,
4 norfloxacin, erythromycin and vancomycin.

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1 36. The composition of claim 32, wherein the biologically active agent is an
2 antifungal agent selected from the group consisting of amphotericin, itraconazole,
3 ketoconazole, miconazole, nystatin, clotrimazole, fluconazole, ciclopirox, econazole,
4 naftifine, terbinafine and griseofulvin.

1 37. The composition of claim 32, wherein the biologically active agent is an
2 antineoplastic agent selected from the group consisting of pentostatin, 6-mercaptopurine, 6-
3 thioguanine, methotrexate, bleomycins, etoposide, teniposide, dactinomycin, daunorubicin,
4 doxorubicin, mitoxantrone, hydroxyurea, 5-fluorouracil, cytarabine, fludarabine, mitomycin,
5 cisplatin, procarbazine, dacarbazine, paclitaxel, colchicine, and the vinca alkaloids.

1 38. The composition of claim 32, wherein the biologically active agent is an
2 immunosuppressive agent selected from the group consisting of methotrexate, azathioprine,
3 fluorouracil, hydroxyurea, 6-thioguanine, cyclophosphamide, mechloethamine
4 hydrochloride, carmustine, cyclosporine, taxol, tacrolimus, vinblastine, dapsone and
5 sulfasalazine..

1 39. The composition of claim 32, wherein the biologically active agent is an
2 analgesic agent selected from the group consisting of lidocaine, bupivacaine, novocaine,
3 procaine, tetracaine, benzocaine, cocaine, mepivacaine, etidocaine, proparacaine ropivacaine
4 and prilocaine.

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2 40. The composition of claim 33, wherein the delivery enhancing
transporter is a peptide having from about 6 to about 15 amino acids residues wherein from 6

